

Fig. 1

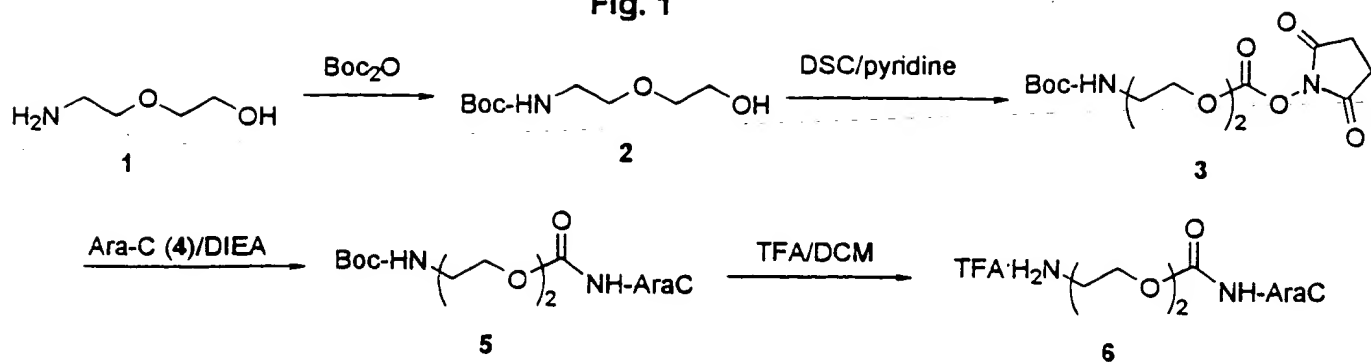


Fig. 2

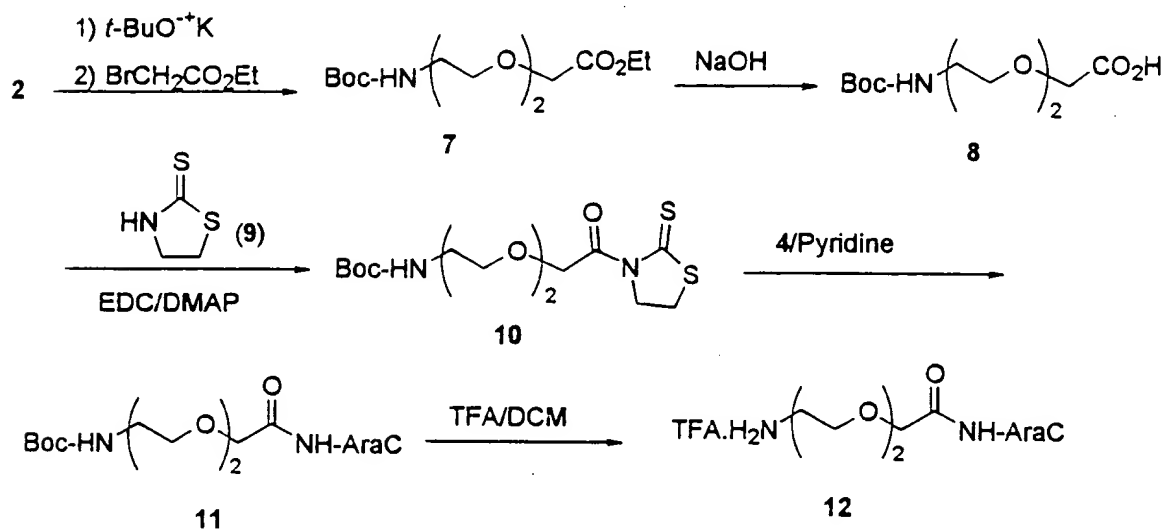


Fig. 3

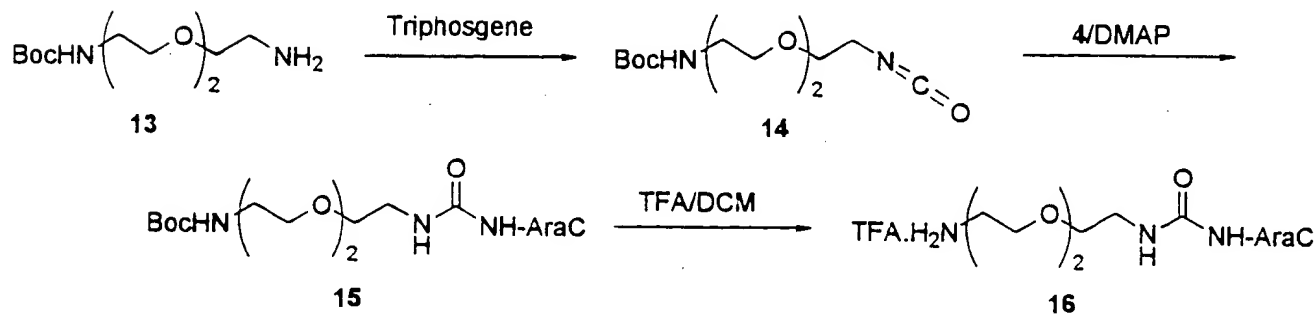


Fig. 4

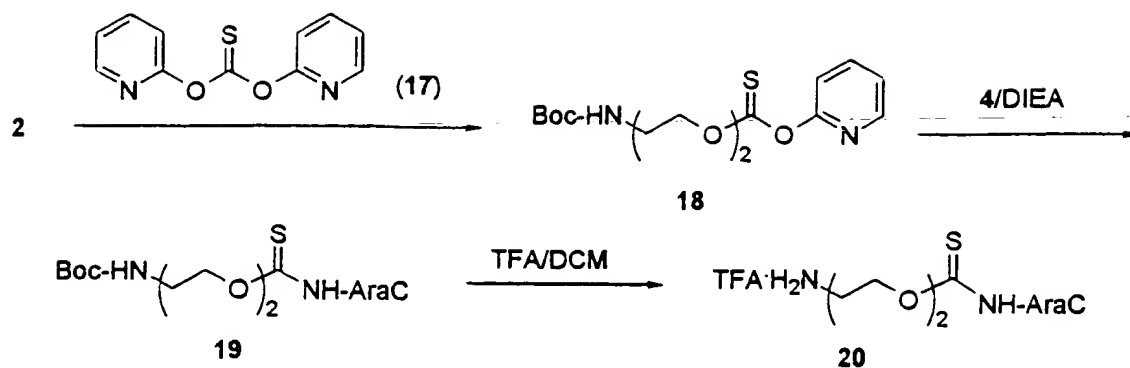


Fig. 5

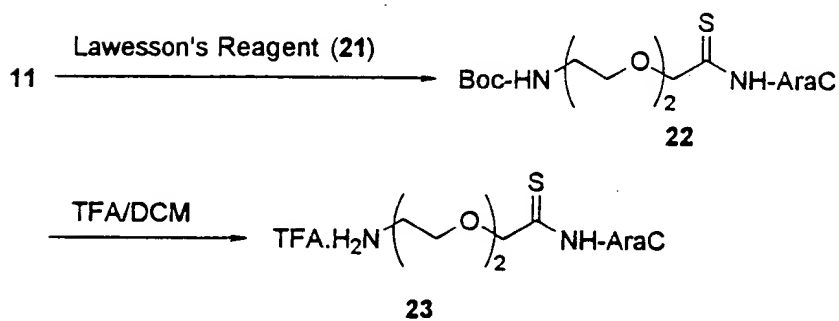


Fig. 6

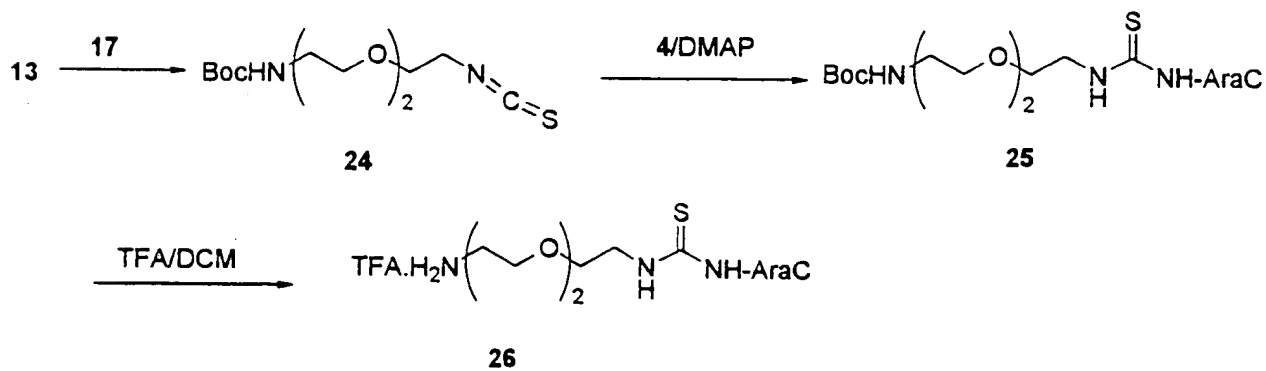


Fig. 7

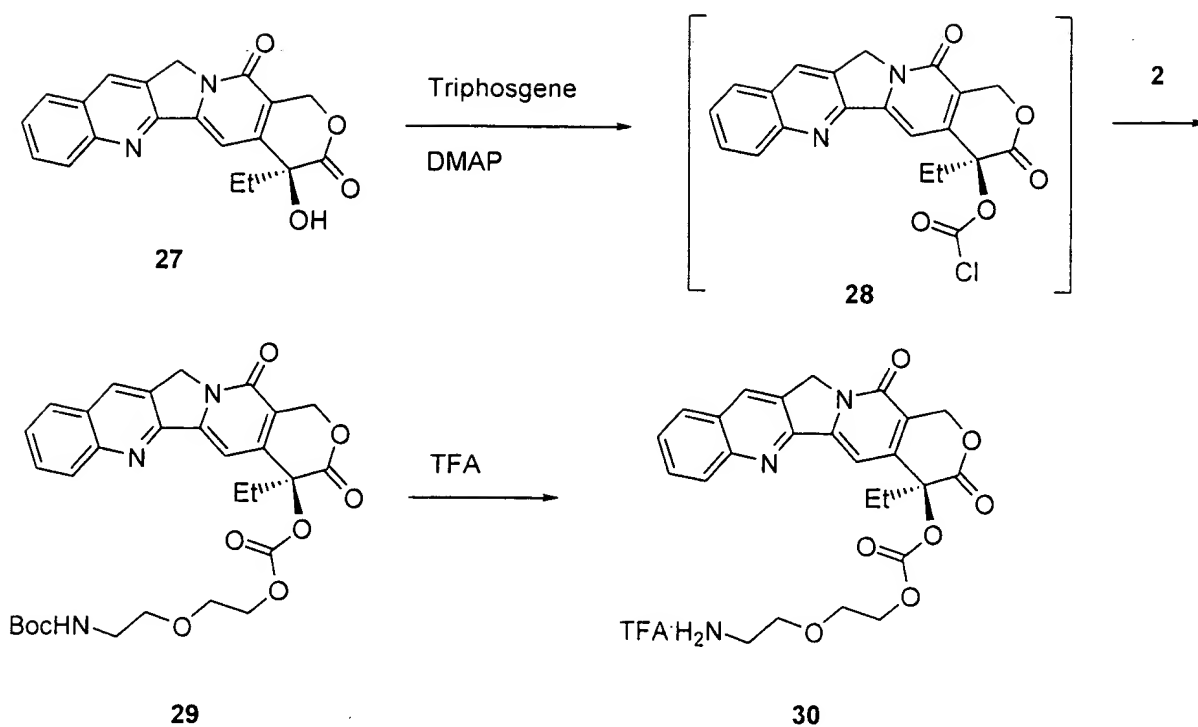
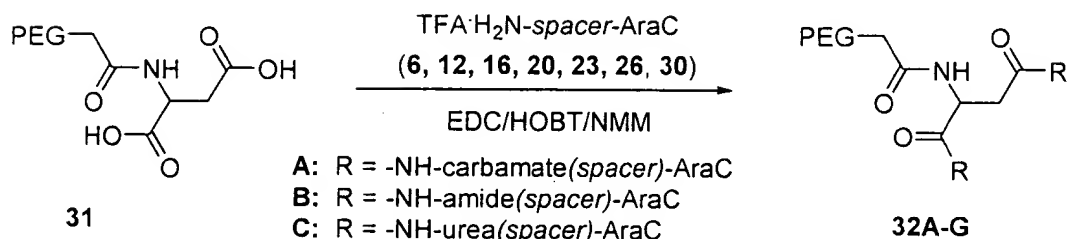
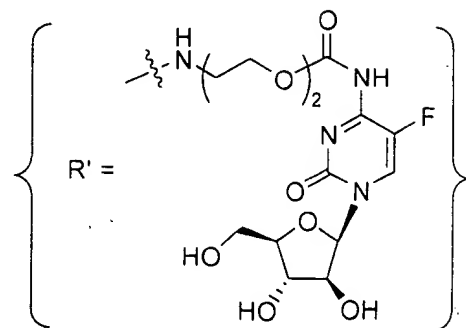
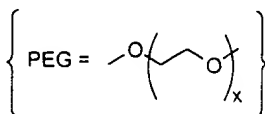
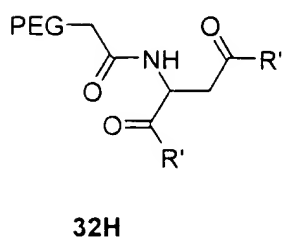


Fig. 8

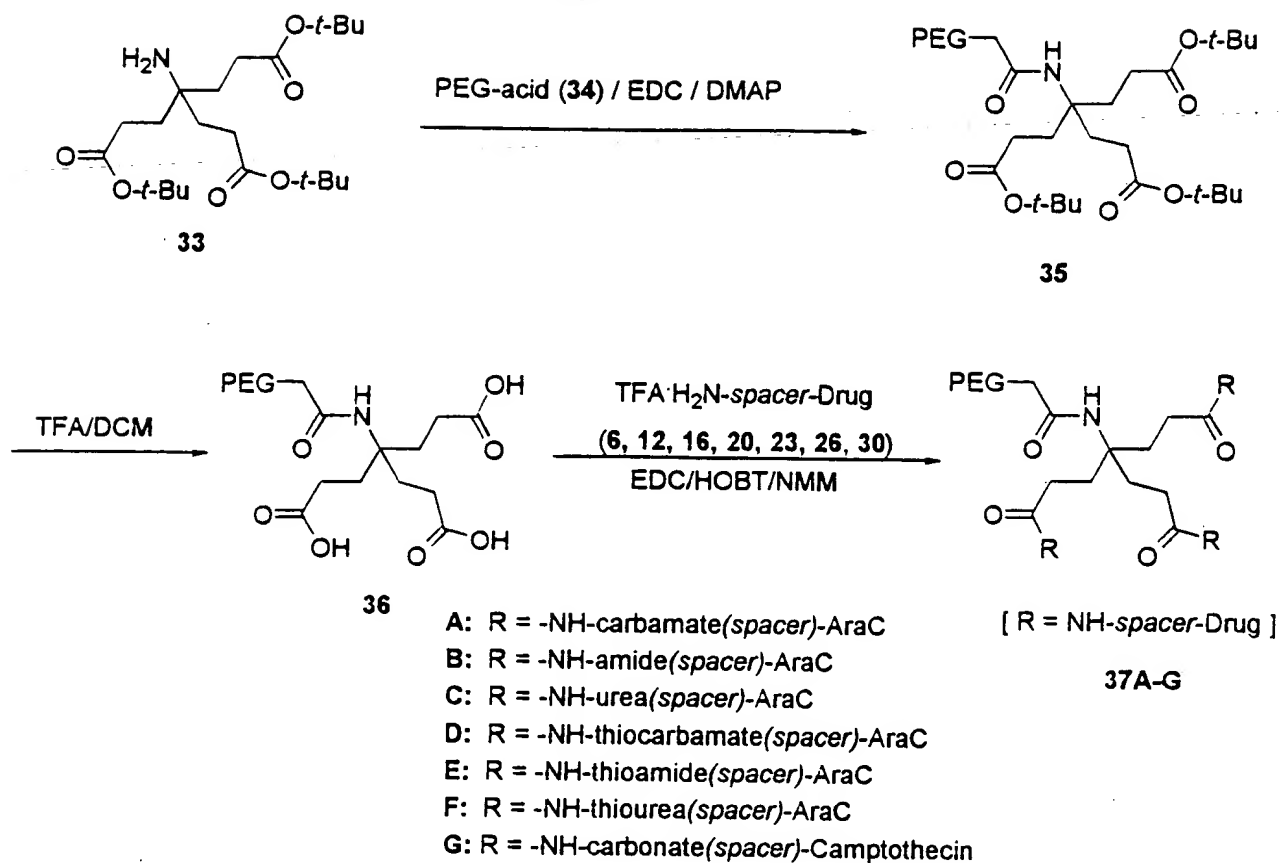


- A: R = -NH-carbamate(spacer)-AraC
 B: R = -NH-amide(spacer)-AraC
 C: R = -NH-urea(spacer)-AraC
 D: R = -NH-thiocarbamate(spacer)-AraC
 E: R = -NH-thioamide(spacer)-AraC
 F: R = -NH-thiourea(spacer)-AraC
 G: R = -NH-carbonate(spacer)-Camptothecin



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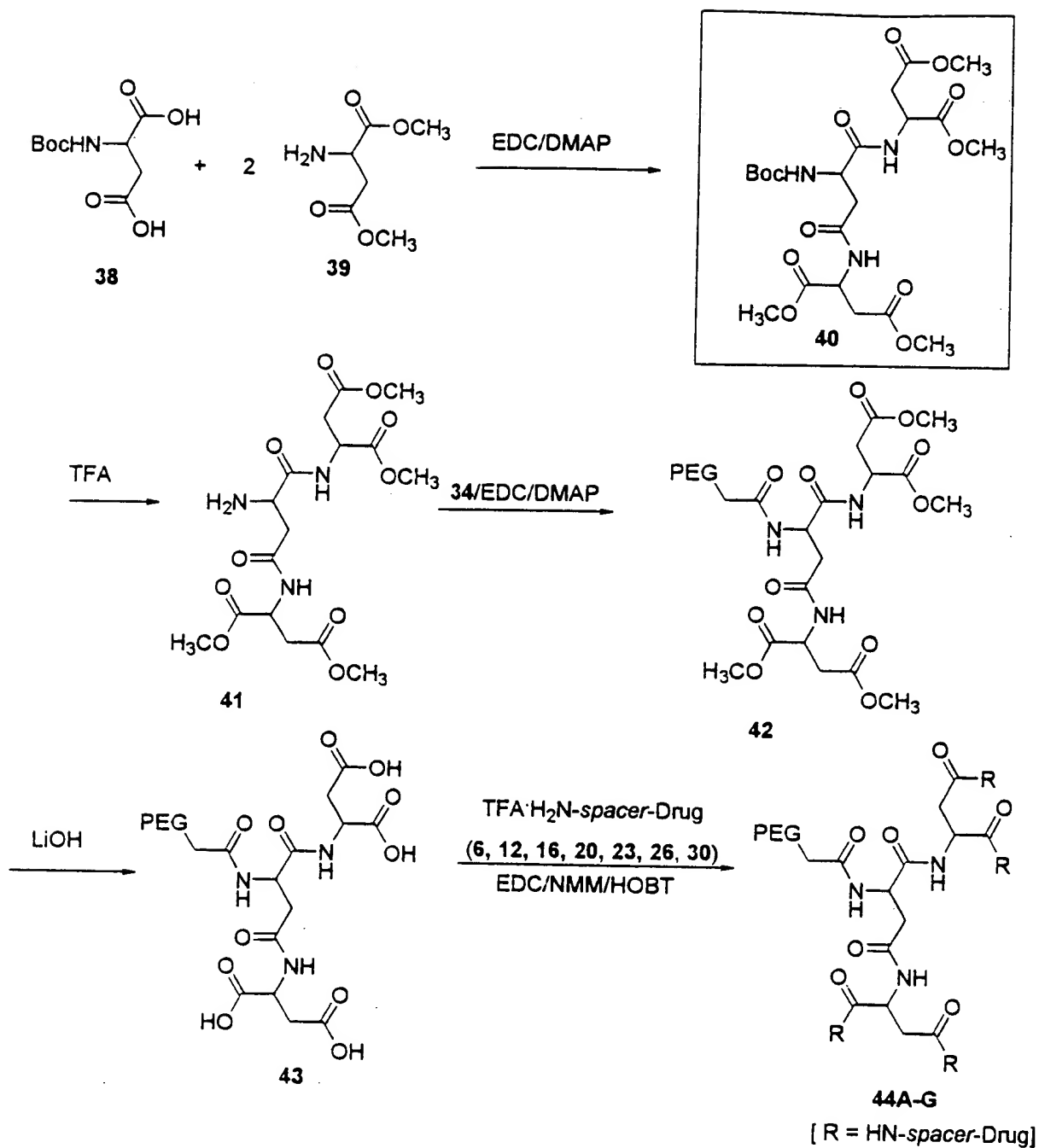
Fig. 9



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Fig. 10

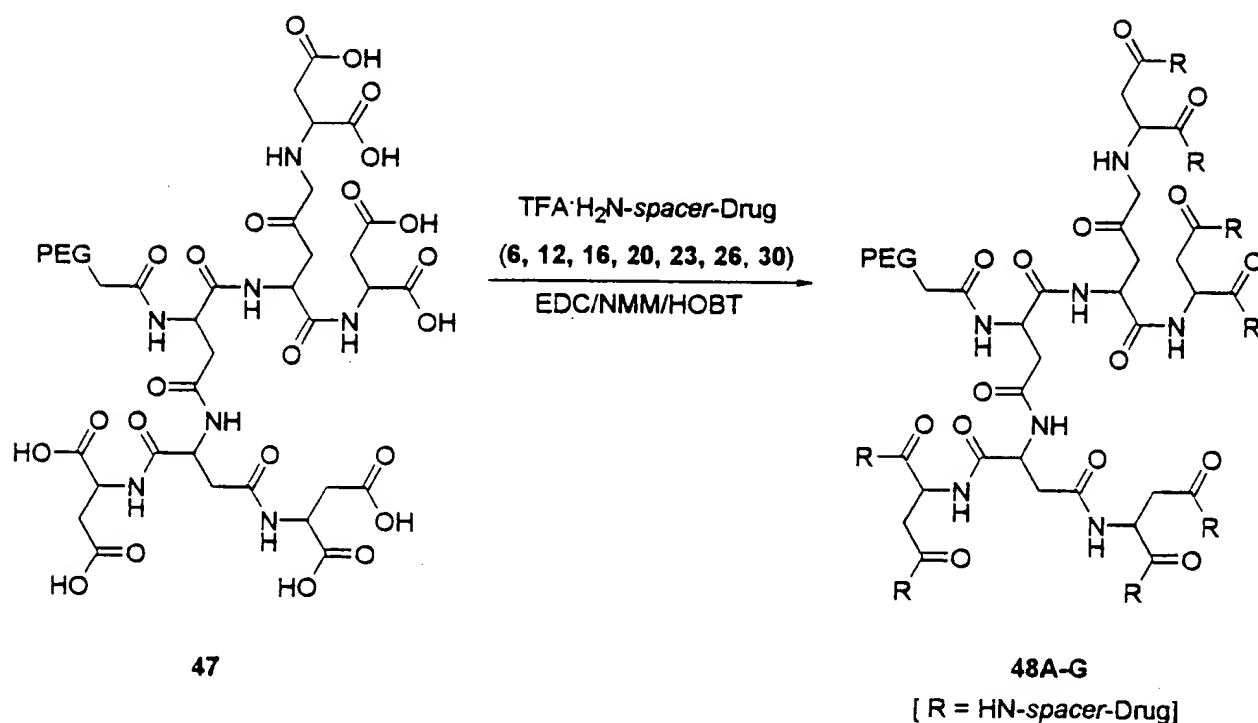
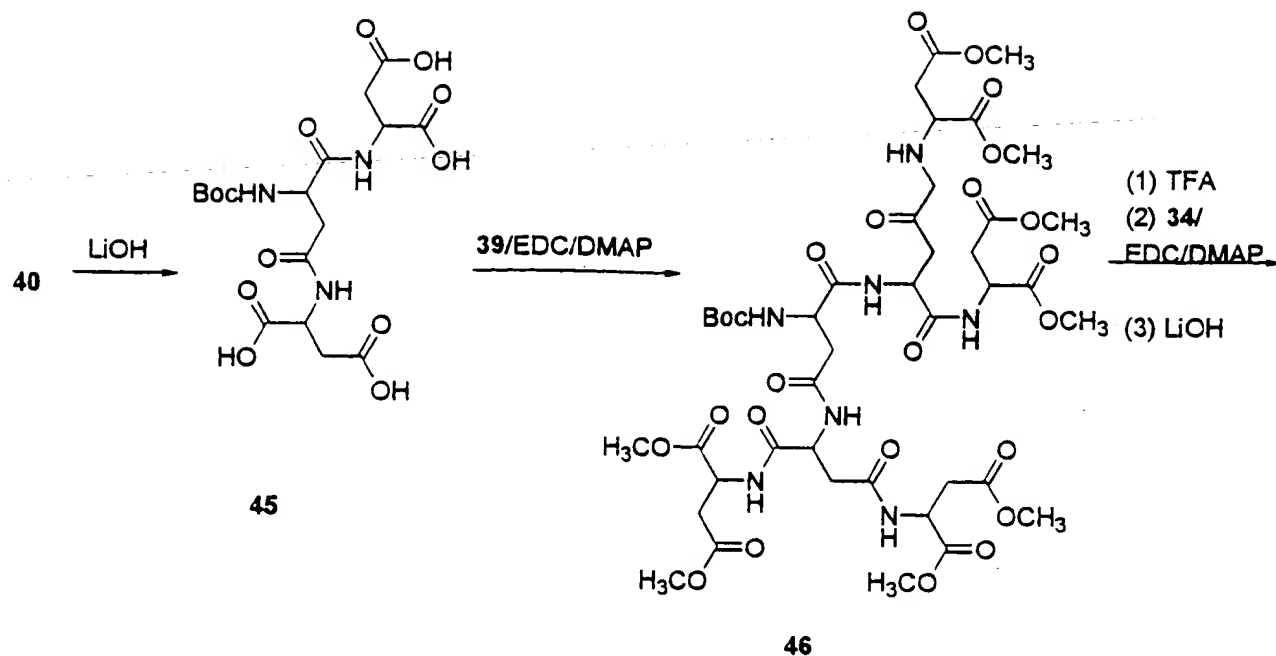
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- A: R = -NH-carbamate(spacer)-AraC
- B: R = -NH-amide(spacer)-AraC
- C: R = -NH-urea(spacer)-AraC
- D: R = -NH-thiocarbamate(spacer)-AraC
- E: R = -NH-thioamide(spacer)-AraC
- F: R = -NH-thiourea(spacer)-AraC
- G: R = -NH-carbonate(spacer)-Camptothecin

Fig. 11

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- A: R = -NH-carbamate(spacer)-AraC
- B: R = -NH-amide(spacer)-AraC
- C: R = -NH-urea(spacer)-AraC
- D: R = -NH-thiocarbamate(spacer)-AraC
- E: R = -NH-thioamide(spacer)-AraC
- F: R = -NH-thiourea(spacer)-AraC
- G: R = -NH-carbonate(spacer)-Camptothecin

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Fig. 12

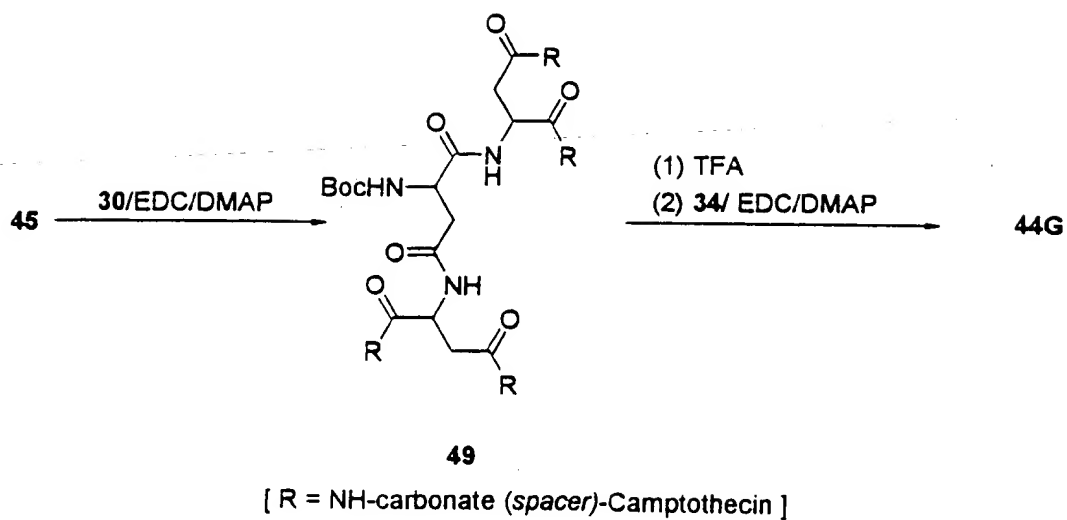
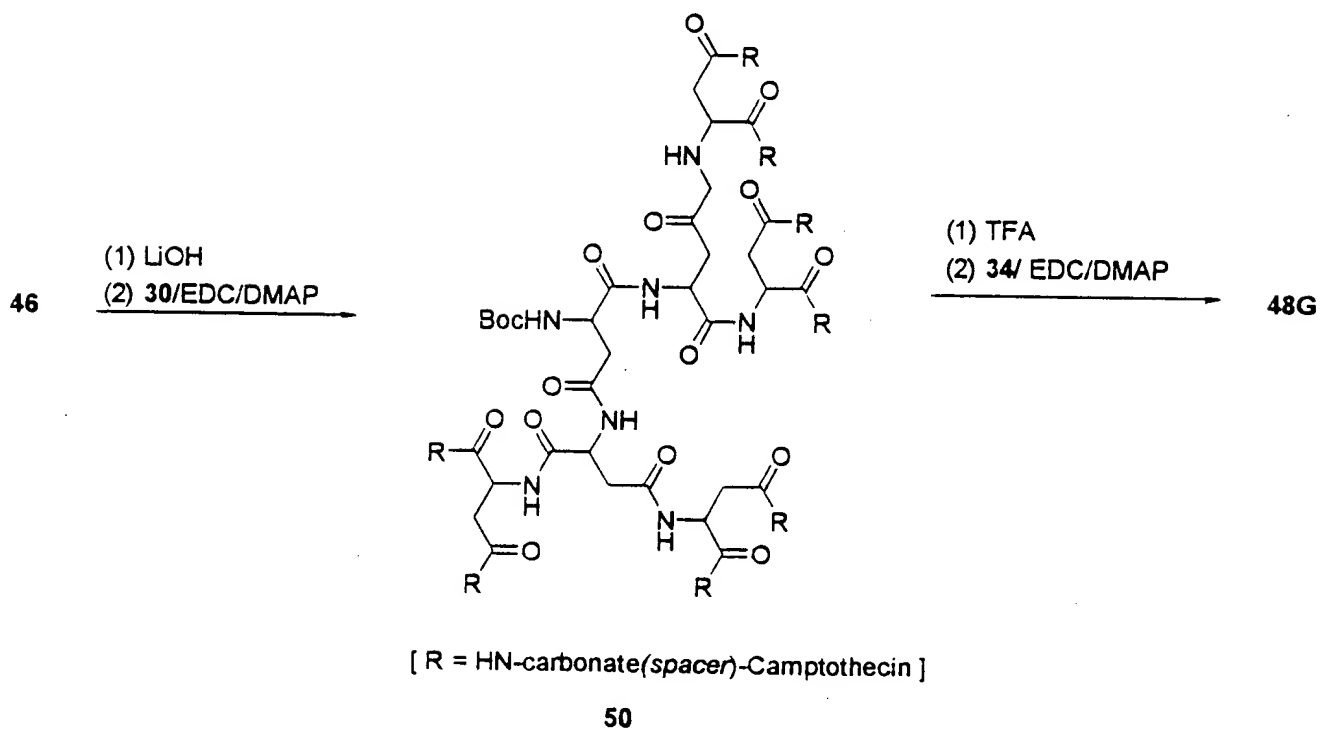
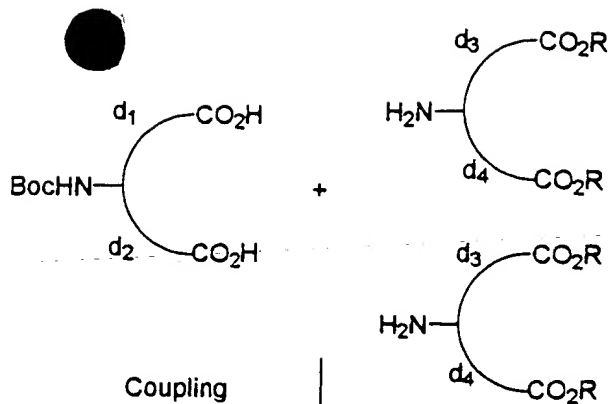


Fig. 13



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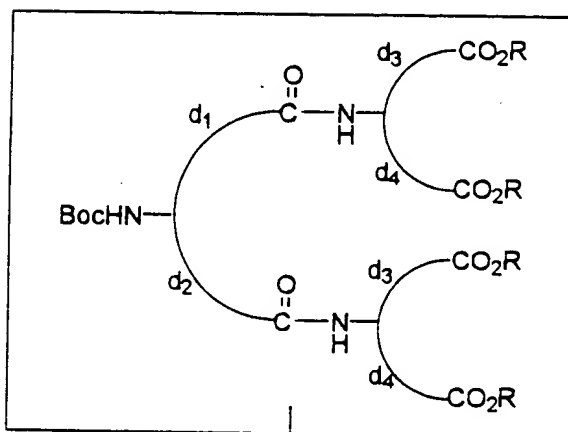
Octamer diagram



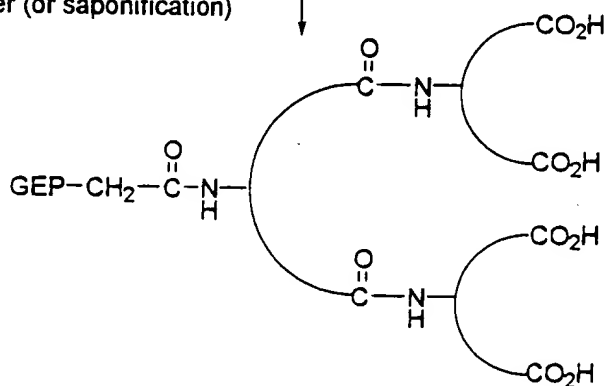
R = alkyl, etc. for ester

Figure 14

Coupling



- (1) Pegylation with PEG acid or T-PEG
- (2) Hydrolysis of the ester (or saponification)



Coupling with spacer-Drug

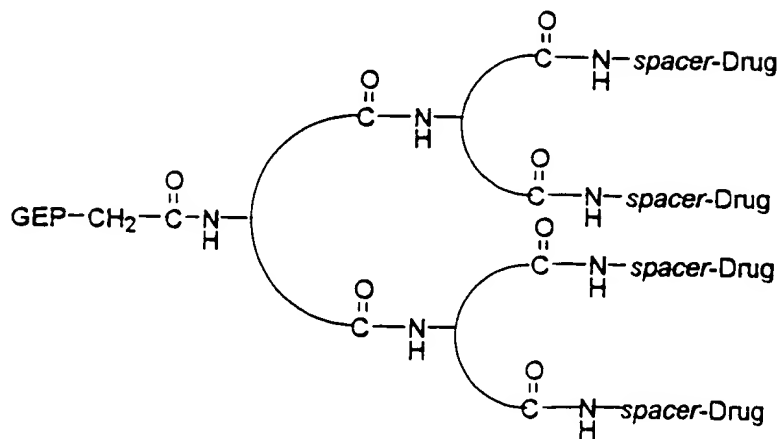
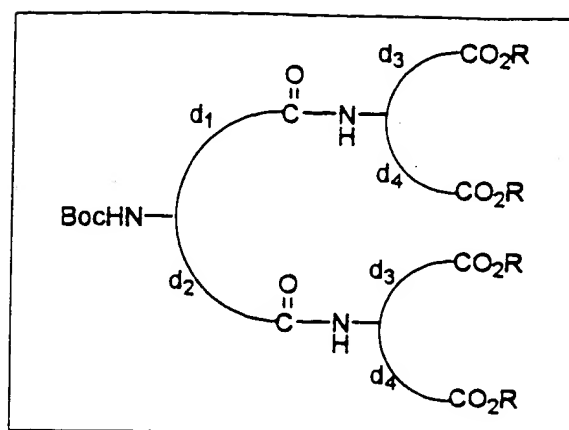
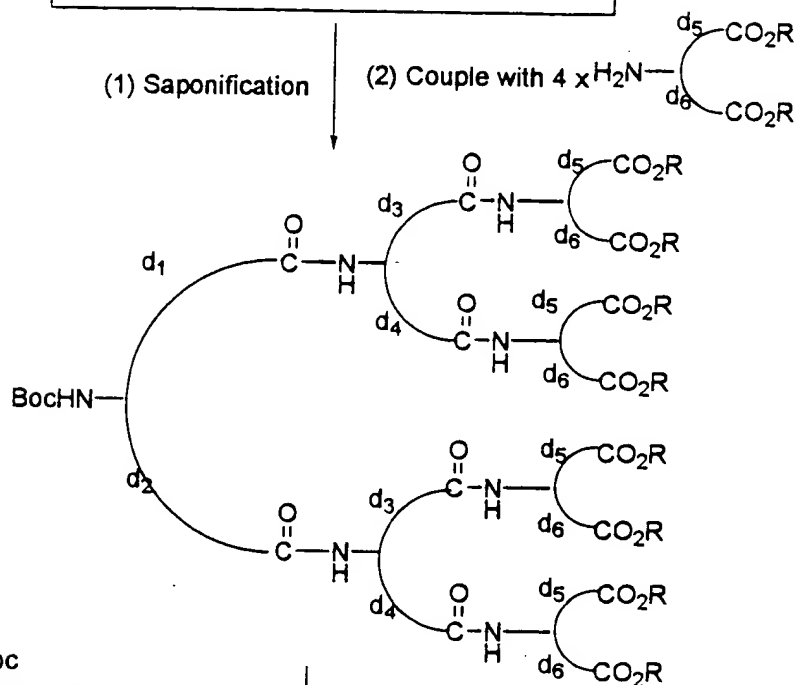


Figure 15



R = alkyl, etc. for ester

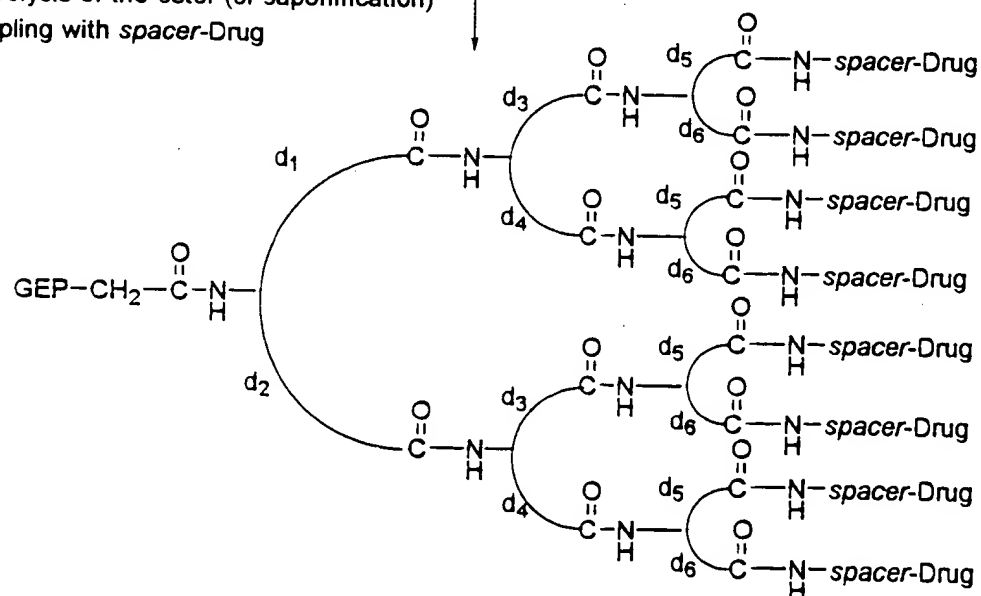
(1) Saponification

(2) Couple with 4 x H₂N-

(1) Deprotection of Boc

(2) Pegylation with PEG acid or T-PEG

(3) Hydrolysis of the ester (or saponification)

(4) Coupling with *spacer-Drug*

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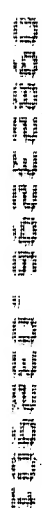
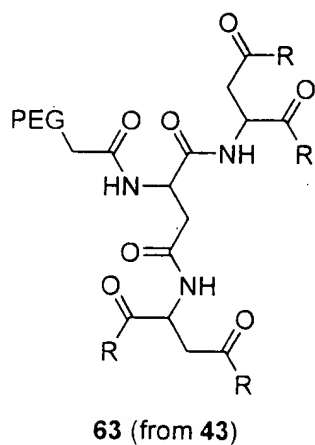
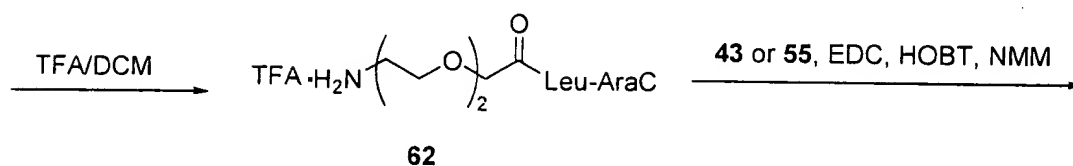
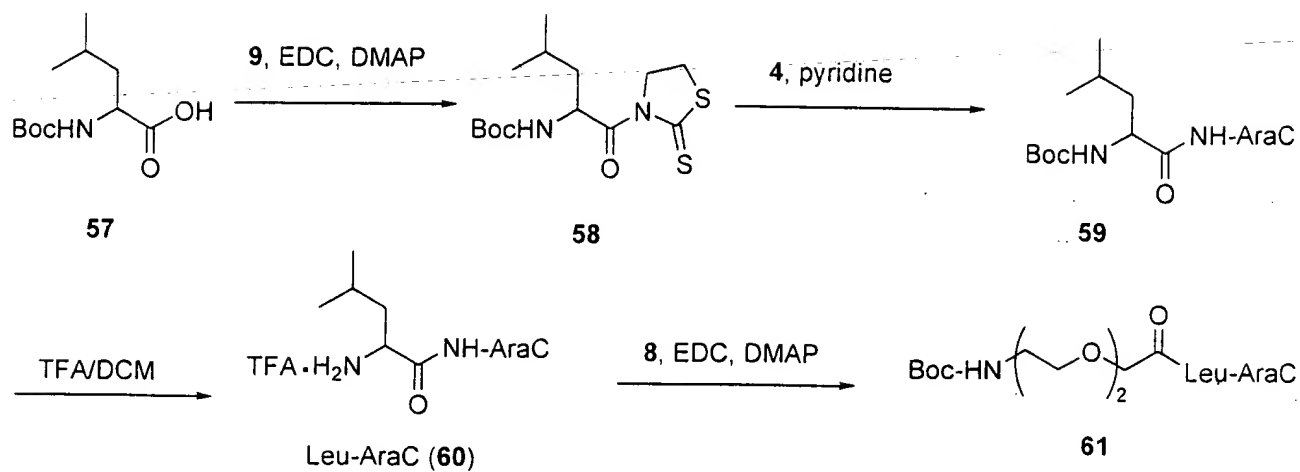


Fig. 17



or

